

ABSTRACT

A glycopeptide of the formula A₁-A₂-A₃-A₄-A₅-A₆-A₇, in which each dash represents a covalent bond; wherein A₁ comprises a modified or unmodified α -amino acid residue, 5 alkyl, aryl, aralkyl, alkanoyl, aroyl, aralkanoyl, heterocyclic, heterocyclic-carbonyl, heterocyclic-alkyl, heterocyclic-alkyl-carbonyl, alkylsulfonyl, arylsulfonyl, guanidinyl, carbamoyl, or xanthyl; wherein each of A₂ to A₇ comprises a modified or unmodified α -amino acid residue, whereby (i) A₁ is linked to an amino group on A₂, (ii) each of A₂, A₄ and A₆ bears an aromatic side chain, which aromatic side chains are cross-linked together 10 by two or more covalent bonds, and (iii) A₇ bears a terminal carboxyl, ester, amide, or N-substituted amide group;

and wherein one or more of A₁ to A₇ is linked via a glycosidic bond to one or more glycosidic groups each having one or more sugar residues, at least one of the sugar 15 residues bearing one or more substituents of the formula YXR, N⁺(R₁)=CR₂R₃, N=PR₁R₂R₃, N⁺R₁R₂R₃ or P⁺R₁R₂R₃ in which Y is a single bond, O, NR₁ or S; X is O, NR₁, S, SO₂, C(O)O, C(O)S, C(S)O, C(S)S, C(NR₁)O, C(O)NR₁, or halo (in which case Y and R are absent).

20 A chemical library comprising a plurality of the glycopeptides of the invention.

A method for preparing a glycopeptide by glycosylation of an aglycone derived from a glycopeptide antibiotic.

25 A method for preparing a glycopeptide by preparing a pseudoaglycone from a glycopeptide antibiotic and glycosylating the pseudoaglycone.